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What is claimed is:

1. A bisbenzamidine of formula I,

wherein the linker is a di-substituted cyclic moiety of any ring size and may contain at least one heteroatom;

the aromatic group is 1,2-; 1,3-; or 1,4- disubstituted;

R is selected from the group consisting of a hydrogen, a linear or branched alkyl group, containing from 1 to 20 carbon atoms;

R' is selected from the group consisting of a hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a cycloalkyl group containing three to eight carbon atoms, or a hydroxyl group;

alternatively, R and R' may form a cyclic structure that can be fused to another cyclic system;

or a pharmaceutically acceptable salt thereof.

- 2. The bisbenzamidine of claim 1 wherein the linker is a 6-membered ring containing at least one heteroatom and is substituted in either a 1,3- or 1,4-position.
- 3. The bisbenzamidine of claim 2 wherein the linker is a 1,4-piperazinediyl group and the aromatic group is 1,4-disubstituted.
- 4. The bisbenzamidine of claim 3 wherein R is a hydrogen atom.

- 5. The bisbenzamidine of claim 4 wherein R' is an n-butyl group.
- 6. The bisbenzamidine of claim 4 wherein R' is a cyclobutyl group.
- 7. The bisbenzamidine of claim 4 wherein R' is a cycloheptyl group.
- 8. The bisbenzamidine of claim 4 wherein R' is an n-heptyl chain.
- 9. The bisbenzamidine of claim 4 wherein R' is an n-pentyl chain.
- 10. The bisbenzamidine of claim 4 wherein R' is a 3-methyl-butyl chain.
- 11. The bisbenzamidine of claim 4 wherein R' is an n-hexyl chain.
- 12. The bisbenzamidine of claim 4 wherein R' is a 2-methyl butyl chain.
- 13. The bisbenzamidine of claim 1 wherein the linker is a 7-membered ring containing at least one heteroatom.
- 14. The bisbenzamidine of claim 13 wherein the linker is a 1,4-homopiperazinediyl group.
- 15. A pharmaceutical formulation comprising, in combination with a pharmaceutically carrier, a bisbenzamidine of formula I,

wherein the linker is a di-substituted cyclic moiety of any ring size and may contain at least one heteroatom;

the aromatic group is 1,2-; 1,3-; or 1,4- disubstituted;

R is selected from the group consisting of a hydrogen, a linear or branched alkyl group, containing from 1 to 20 carbon atoms;

R' is selected from the group consisting of a hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a cycloalkyl group containing three to eight carbon atoms, or a hydroxyl group;

alternatively, R and R' may form a cyclic structure that can be fused to another cyclic system; or a pharmaceutically acceptable salt thereof.

- 16. The pharmaceutical formulation of claim 15 wherein the linker is a 1,4-piperazinediyl group, the aromatic group is 1,4-disubstituted, R is a hydrogen and R' is selected from the group consisting of n-butyl, cyclobutyl, cycloheptyl, n-heptyl, n-pentyl, 3-methyl-butyl, n-hexyl chain, and a 2-methyl butyl moiety.
- 17. A bis-benzamidine of the general structure II:

II

wherein the linker is selected from the group consisting of a chain of one to twenty carbon atoms, containing saturated and/or unsaturated units, a cyclic structure of 1-20 atoms possibly containing heteroatoms;

the (de)activating group contains are selected from the group constsiting of an ether, ester, amide, thioether, thioester, thioamide, amine, or a methylene group;

the aromatic system is di-substituted, six-membered ring and may contain at least one heteroatom;

R is a hydrogen atom or a linear or branched alkyl group, containing from 1 to 20 carbon atoms;

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R' is selected from the group consisting of hydrogen, a linear or branched alkyl group containing from one to twenty carbon atoms, an aromatic ring, a hydroxyl group, a cycloalkyl group containing three to eight carbon atoms; or

R and R' may form a cyclic structure that can be fused to another cyclic system, wherein the cyclic structure, may be aromatic, and may contain heteroatoms or unsaturated bonds; or pharmaceutically acceptable salts thereof.

18. A bis-benzamidine of the following structure

19. A bis-benzamidine of the following structure:

$$\begin{array}{c|c} HN & O & O & H \\ H-N & - C & -(CH_2)_3 & C-N & NH \\ H & H & H \end{array}$$

- 20. The pharmaceutical formulation of claim 15 further comprising a liposomal formulation containing the active compounds or salts thereof.
- 21. The pharmaceutical formulation of claim 15 further comprising at least one additional active agent.
- 22. The pharmaceutical formulation of claim 20 wherein the additional agent is an antiinflammatory agent.
- 23. The pharmaceutical formulation of claim 20 wherein the additional agent is an antiinfectious agent.

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- 24. The pharmaceutical formulation of claim 15 wherein the anti-infectious agent is selected from the group consisting of an anti-bacterial agent, an antifungal agent, an anti-viral agent, an anti-parasitic agent and mixtures thereof.
- 25. The pharmaceutical formulation of claim 15 wherein the bisbenzamidine is in a prodrug form.
- 26. A process for making a pharmaceutical composition comprising mixing any of the compounds of claim 1 and a pharmaceutically acceptable carrier in dosage form.
- 27. A method of treating a subject in need of such treatment, which comprises administering to the subject a therapeutically effective amount of the compound of Formula I as defined in claim 1 or a pharmaceutically acceptable salt thereof.
- 28. The method of claim 27, wherein the subject has a condition caused by or contributed to by an infectious agent.
- 29. The method of claim 28, wherein the infectious agent is a pathogenic organism selected from the group consisting of bacteria, yeast, viruses, protozoa and parasites.
- 30. The method of claim 28, wherein the microbial infection is *Pneumocystis* pneumonia.
- 31. The method of claim 28, wherein the condition is pneumonia.
- 32. The method of claim 31, wherein the pneumonia is in an HIV-positive patient.
- 33. The method of claim 28, wherein the condition is a chronic infection.
- 34. The method of claim 27, wherein the compound represented by formula (I) is administered to the subject orally or intravenously.
- 34. The method of claim 27, wherein the compound represented by formula (I) is present in a pharmaceutical formulation and wherein the pharmaceutical formulation further comprises a pharmaceutically acceptable carrier.

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- 35. A method for the prophylactic treatment of a fungal, bacterial, parasitic or viral infection in a subject comprising contacting the subject with a therapeutically effective amount of the compound of Formula I as defined in claim 1 or a pharmaceutically acceptable salt thereof.
- 36. The method of claim 35, wherein the infection is an opportunistic infection.
- 37. The method of claim 35, wherein the infection is in an immunocompromised subject.
- 38. The method of claim 35, wherein the infection is in an HIV-positive subject with pneumonia.
- 39. A method of treating pneumonia in a host, susceptible to or suffering from pneumonia caused by a microorganism selected from a virus, a bacterium, a fungus, and *Pneumocystis*, comprising administering to the subject an anti-inflammatory agent to reduce inflammation and bisbenzamidine of formula I with activity against the microorganism.
- 40. The method of claim 39, wherein the anti-inflammatory agent is a corticosteroid.
- 41. The method of claim 39, wherein the composition further comprises an additional anti-infectious agent.
- 42. The method of claim 41, wherein the additional anti-infectious agent is an anti-bacterial agent, anti-parasitic agent, or anti-viral agent.
- 43. The method of claim 41, wherein the additional anti-infectious agent is an anti-viral agent selected from the group consisting of ribavirin and amantidine.
- 44. The method of claim 39, wherein the subject is afflicted with *Pneumocystis* pneumonia.
- 45. The method of claim 39, wherein the subject is at risk of developing *Pneumocystis* pneumonia and the compound is administered in a prophylactically effective amount.

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- 46. The method of claim 27, 35 or 39, comprising administering a therapeutically effective amount of the composition by oral inhalation, by nasal inhalation, or by intranasal mucosal administration.
- 47. The method of claim 27, 35 or 39, comprising administering a therapeutically effective amount of the composition orally, enterally, topically, vaginally, sublingually, rectally, intramuscularly, intravenously, or subcutaneously.
- 48. A kit comprising the pharmaceutical formulation of claim 15.